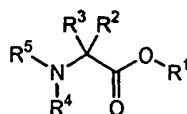


CLAIMS

What is claimed is:

1. A compound comprising Formula 1



Formula 1

5

where R^1 , R^2 , and R^3 are the same or different and are selected from:

- (f) H, with the proviso that at least one of R^2 and R^3 is not H,
 (g) mono-, di-, and tri-substituted aryl, and
 10 (h) C_1 - C_{10} alkyl, C_1 - C_{10} substituted alkyl, C_1 - C_{10} substituted alkyl-aryl, C_1 - C_{10} substituted alkenyl, and C_1 - C_{10} substituted alkenyl aryl,

where the substituents of (b) and (c) are selected from:

- H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C_1 - C_{10} alkyloxy,
 15 C_1 - C_{10} alkyloxy aryl, C_1 - C_{10} aminoalkyl, C_1 - C_{10} alkylamino, C_1 - C_{10} aminoalkyl aryl, C_1 - C_{10} aminocarbonyl, C_1 - C_{10} aminocarbonylalkyl-aryl, C_1 - C_{10} thioalkyl, C_1 - C_{10} thioalkyl-aryl, C_1 - C_{10} alkylsulfoxide, C_1 - C_{10} alkylsulfone, C_1 - C_{10} alkylsulfonamide, C_1 - C_{10} alkylsulfonamide aryl, C_1 - C_{10} alkylsulfoxide aryl, C_1 - C_{10} alkylsulfone aryl, C_1 - C_{10} alkyl, aminocarbonylamino C_1 - C_{10} alkyl, C_1 - C_{10}
 20 alkyl aminocarbonylamino C_1 - C_{10} alkyl aryl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10} alkyl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10} alkyl aryl, C_1 - C_{10} carboxyalkyl, C_1 - C_{10} carboxyalkyl aryl, C_1 - C_{10} carbonylalkyl, C_1 - C_{10} carbonylalkyl aryl, C_1 - C_{10} alkyloxycarbonylamino alkyl, C_1 - C_{10} alkyloxycarbonylamino alkyl aryl,

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guanidino, C₁-C₁₀ alkylCOOH, C₁-C₁₀ alkylCONH₂, C₁-C₁₀ alkenylCOOH, C₁-C₁₀ alkenyl CONH₂, and

where the aryl group of (b) and (c) is selected from:

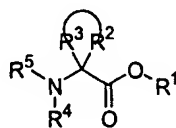
phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl,
 5 indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl,
 pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl,
 pyrazolyl, isoindolyl, purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl,
 benthiazolyl, benzoxazolyl; and

where R⁴ and R⁵ are the same or different and are selected from:

- 10 (i) H, and
 (j) an amine protecting group.

2. The compound of claim 1, where the amine protecting group is
 selected from phenyl, cyclohexenyl, cyclohexyl, t-butyl, 9-
 fluorenylmethylcarbonyl, tert-butyloxycarbonyl, allyloxycarbonyl, and
 15 benzyloxycarbonyl.

3. The compound of claim 1, where the groups R² and R³ are
 joined together to form cyclic compounds with a ring system as represented
 by Formula 1a



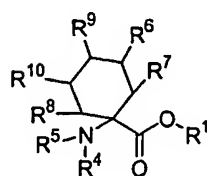
Formula 1a

where the ring system has a ring size of 3 to 8 members.

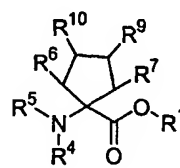
-50-

4. The compound of claim 3, where the ring system is selected from:

- (a) mono-, di-, tri-, or tetra-substituted cyclopropenyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl as shown in compounds of Formulae 1b and 1c

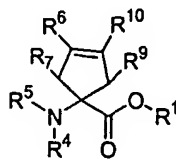


Formula 1b



Formula 1c

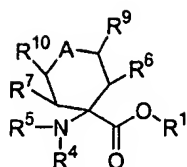
- (b) mono-, di-, tri-, or tetra-substituted cyclopropyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl as shown in compounds of Formula 1d



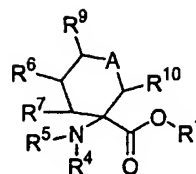
Formula 1d

- (c) mono-, di-, tri- or tetra-substituted heterocyclic compounds of Formulae 1e and 1f, where A is O, S, SO, SO₂ NH, SO₂NHR⁸, NCONHR⁸, NCOOR⁸, or NR⁸,

-51-



Formula 1e



Formula 1f

and where R^6 , R^7 , R^8 , R^9 and R^{10} of Formulae 1a-1f are the same or different and are selected from:

- 5 (d) H,
 (e) mono-, di-, and tri-substituted aryl, and
 (f) C_1 - C_{10} substituted alkyl, C_1 - C_{10} -substituted alkyl-aryl C_1 - C_{10} substituted alkenyl, and C_1 - C_{10} substituted alkenyl aryl,

where the substituents of (e) and (f) are selected from:

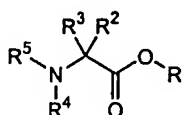
- 10 H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C_1 - C_{10} alkyloxy, C_1 - C_{10} alkyloxy aryl, C_1 - C_{10} aminoalkyl, C_1 - C_{10} alkylamino, C_1 - C_{10} aminoalkyl aryl, C_1 - C_{10} aminocarbonyl, C_1 - C_{10} aminocarbonylalkyl-aryl, C_1 - C_{10} thioalkyl, C_1 - C_{10} thioalkyl-aryl, C_1 - C_{10} alkylsulfoxide, C_1 - C_{10} alkylsulfone, C_1 - C_{10} alkylsulfonamide, C_1 - C_{10} alkylsulfonamide aryl, C_1 - C_{10} alkylsulfoxide aryl, C_1 -
 15 C_{10} alkylsulfone aryl, C_1 - C_{10} alkyl, aminocarbonylamino C_1 - C_{10} alkyl, C_1 - C_{10} alkyl aminocarbonylamino C_1 - C_{10} alkyl aryl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10} alkyl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10} alkyl aryl, C_1 - C_{10} carboxyalkyl, C_1 - C_{10} carboxyalkyl aryl, C_1 - C_{10} carbonylalkyl, C_1 - C_{10} carbonylalkyl aryl, C_1 - C_{10} alkyloxycarbonylamino alkyl, C_1 - C_{10} alkyloxycarbonylamino alkyl aryl,
 20 guanidino, C_1 - C_{10} alkylCOOH, C_1 - C_{10} alkylCONH₂, C_1 - C_{10} alkenylCOOH, C_1 - C_{10} alkenyl CONH₂,

and where the aryl groups of (e) and (f) are selected from:

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phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl, pyrazolyl, isoindolyl, purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, 5 benthiazolyl, and benzoxazolyl.

5. A method for making a compound of Formula 1



Formula 1

10 where R¹, R², and R³ are the same or different and are selected from:

- (a) H, with the proviso that at least one of R² and R³ is not H,
- (b) mono-, di-, and tri-substituted aryl, and
- (c) C₁-C₁₀ alkyl, C₁-C₁₀ substituted alkyl, C₁-C₁₀ substituted alkyl-
 aryl, C₁-C₁₀ substituted alkenyl, and C₁-C₁₀ substituted alkenyl
 15 aryl,

where the substituents of (b) and (c) are selected from:

- H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C₁-C₁₀ alkyloxy,
 C₁-C₁₀ alkyloxy aryl, C₁-C₁₀ aminoalkyl, C₁-C₁₀ alkylamino, C₁-C₁₀ aminoalkyl
 aryl, C₁-C₁₀ aminocarbonyl, C₁-C₁₀ aminocarbonylalkyl-aryl, C₁-C₁₀ thioalkyl,
 20 C₁-C₁₀ thioalkyl-aryl, C₁-C₁₀ alkylsulfoxide, C₁-C₁₀ alkylsulfone, C₁-C₁₀
 alkylsulfonamide, C₁-C₁₀ alkylsulfonamide aryl, C₁-C₁₀ alkylsulfoxide aryl, C₁-
 C₁₀ alkylsulfone aryl, C₁-C₁₀ alkyl, aminocarbonylamino C₁-C₁₀ alkyl, C₁-C₁₀
 alkyl aminocarbonylamino C₁-C₁₀ alkyl aryl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀

-53-

alkyl, C₁-C₁₀ alkyloxycarbonyl C₁-C₁₀ alkyl aryl, C₁-C₁₀ carboxyalkyl, C₁-C₁₀ carboxyalkyl aryl, C₁-C₁₀ carbonylalkyl, C₁-C₁₀ carbonylalkyl aryl, C₁-C₁₀ alkyloxycarbonylamino alkyl, C₁-C₁₀ alkyloxycarbonylamino alkyl aryl, guanidino, C₁-C₁₀ alkylCOOH, C₁-C₁₀ alkylCONH₂, C₁-C₁₀ alkenylCOOH, C₁-
 5 C₁₀ alkenyl CONH₂, and

where the aryl group of (b) and (c) is selected from:

phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothieryl,
 10 pyrazolyl, isoindolyl, purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, benthiazolyl, benzoxazolyl; and

where R⁴ and R⁵ are the same or different and are selected from:

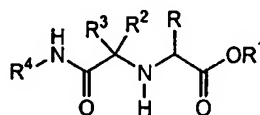
(d) H, and

(e) an amine protecting group;

15 said method comprising:

(i) reacting an amino acid/chiral auxiliary or a salt thereof, a convertible isocyanide, and at least one of an aldehyde and a ketone, in an alcohol or an alcohol-containing solvent to obtain a compound of Formula 2

20



Formula 2

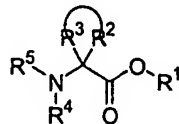
and

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(ii) subjecting the compound of Formula 2 to aryl amine/hydrolysis, including catalytic hydrogenation, and to amide cleavage/hydrolysis, to obtain the compound of Formula 1.

5 6. The method of claim 5, where the amine protecting group is selected from phenyl, cyclohexenyl, cyclohexyl, t-butyl, 9-fluorenylmethylcarbonyl, tert-butyloxycarbonyl, allyloxycarbonyl, and benzyloxycarbonyl.

7. The method of claim 5, where the groups R^2 and R^3 are joined
10 together to form cyclic compound with a ring system as represented by Formula 1a

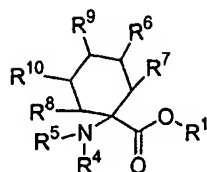


Formula 1a

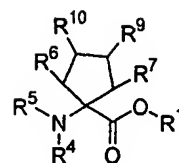
15 where the ring system has a ring size of 3 to 8 members.

8. The method of claim 7, where the ring system is selected from:
(a) mono-, di-, tri-, or tetra-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl as shown in compounds of Formulae 1b and 1c

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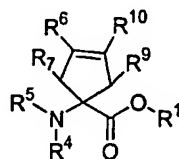
Formula 1b



Formula 1c

- (b) mono-, di-, tri-, or tetra-substituted cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl as shown in compounds of Formula 1d

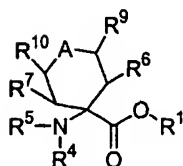
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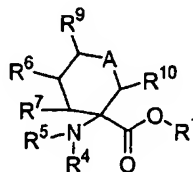
Formula 1d

- (c) mono-, di-, tri- or tetra-substituted heterocyclic compounds of Formulae 1e and 1f, where A is O, S, SO, SO₂ NH, SO₂NHR⁸, NCONHR⁸, NCOOR⁸, or NR⁸,

10



Formula 1e



Formula 1f

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and where R^6 , R^7 , R^8 , R^9 and R^{10} of Formulae 1a-1f are the same or different and are selected from:

- (d) H,
- (e) mono-, di-, and tri-substituted aryl, and
- 5 (f) C_1 - C_{10} substituted alkyl, C_1 - C_{10} -substituted alkyl-aryl C_1 - C_{10} substituted alkenyl, and C_1 - C_{10} substituted alkenyl aryl,

where the substituents of (e) and (f) are selected from:

- H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C_1 - C_{10} alkyloxy,
- C_1 - C_{10} alkyloxy aryl, C_1 - C_{10} aminoalkyl, C_1 - C_{10} alkylamino, C_1 - C_{10} aminoalkyl
- 10 aryl, C_1 - C_{10} aminocarbonyl, C_1 - C_{10} aminocarbonylalkyl-aryl, C_1 - C_{10} thioalkyl,
- C_1 - C_{10} thioalkyl-aryl, C_1 - C_{10} alkylsulfoxide, C_1 - C_{10} alkylsulfone, C_1 - C_{10}
- alkylsulfonamide, C_1 - C_{10} alkylsulfonamide aryl, C_1 - C_{10} alkylsulfoxide aryl, C_1 -
- C_{10} alkylsulfone aryl, C_1 - C_{10} alkyl, aminocarbonylamino C_1 - C_{10} alkyl, C_1 - C_{10}
- alkyl aminocarbonylamino C_1 - C_{10} alkyl aryl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10}
- 15 alkyl, C_1 - C_{10} alkyloxycarbonyl C_1 - C_{10} alkyl aryl, C_1 - C_{10} carboxyalkyl, C_1 - C_{10}
- carboxyalkyl aryl, C_1 - C_{10} carbonylalkyl, C_1 - C_{10} carbonylalkyl aryl, C_1 - C_{10}
- alkyloxycarbonylamino alkyl, C_1 - C_{10} alkyloxycarbonylamino alkyl aryl,
- guanidino, C_1 - C_{10} alkylCOOH, C_1 - C_{10} alkylCONH₂, C_1 - C_{10} alkenylCOOH, C_1 -
- C_{10} alkenyl CONH₂,

- 20 and where the aryl groups of (e) and (f) are selected from:

- phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl,
- indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl,
- pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothieryl,
- pyrazolyl, isoindolyl, purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl,
- 25 benthiazolyl, and benzoxazolyl.

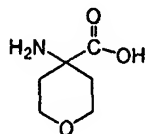
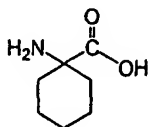
-57-

9. The method of claim 5, where the amino acid/chiral auxiliary is phenyl glycine, the convertible isocyanide is isocyanide, the alcohol is methanol, and the catalytic hydrogenation employs $\text{Pd}(\text{OH})_2$ for a catalyst.

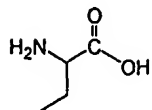
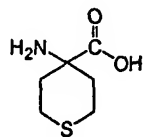
10. The method of claim 5, where step (ii) comprises that the aryl amine/hydrolysis and the amide cleavage/hydrolysis are followed by an amine protection reaction to place at least one amine protecting group on the N of Formula 1.

11. The compound of claim 1, comprising a compound selected from the group consisting of:

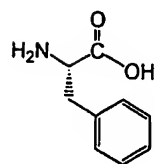
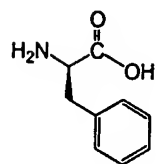
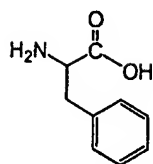
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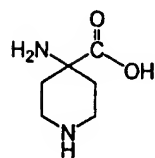
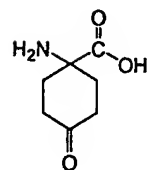
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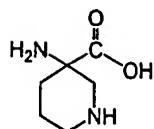
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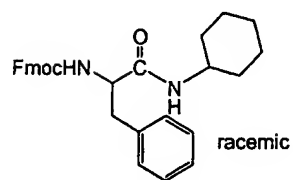
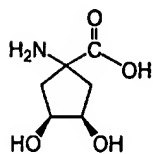
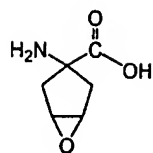
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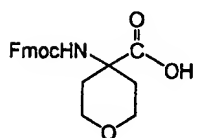
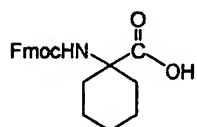
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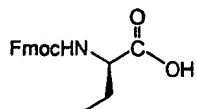
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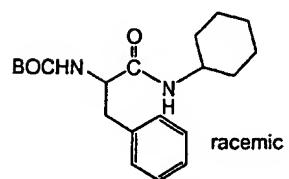
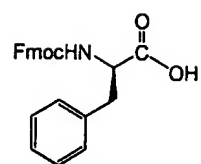
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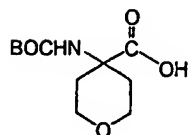
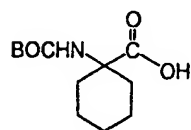
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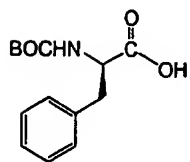
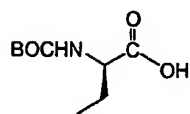
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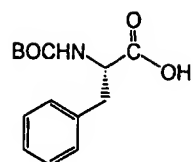


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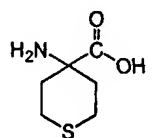
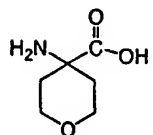
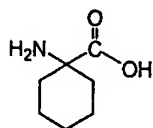
and

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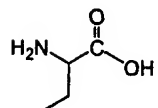


12. The method of claim 5, where Formula 1 comprises a compound selected from the group consisting of:

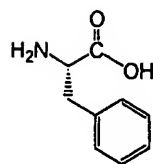
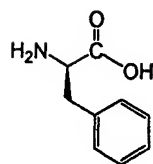
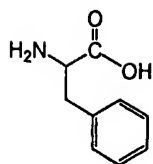
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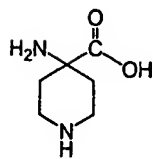
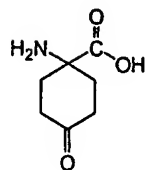
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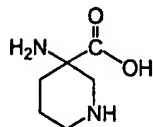
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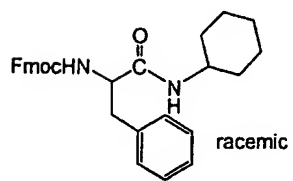
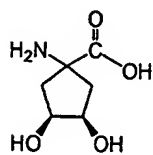
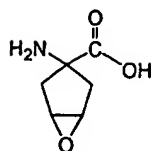
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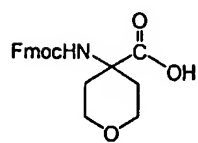
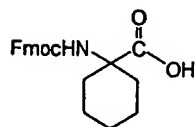
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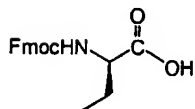
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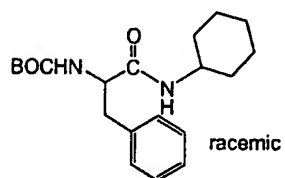
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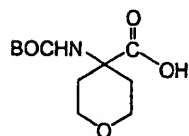
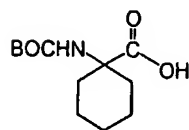
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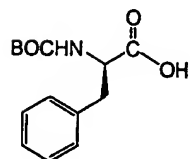
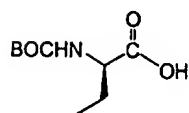
-64-



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and

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